PCT

WORLD INTELLECTUAL PROPERTY ORGANIZATION International Bureau



INTERNATIONAL APPLICATION PUBLISHED UNDER THE PATENT COOPERATION TREATY (PCT)

(51) International Patent Classification ⁵ :	A1	(11) International Publication Number	: WO 94/26735
C07D 401/06, 471/10, 401/14, 405/14, 409/14, A61K 31/445 // (C07D 471/10, 235:00, 221:00)		(43) International Publication Date:	24 November 1994 (24.11.94)

C07D 401/06, 471/10, 401/14, 405/14, 409/14, A61K 31/445 // (C07D 471/10, 235:00, 221:00)	A1	(4	3) International Publication Date: 24 November 1994 (24.11.94)
(21) International Application Number: PCT/US: (22) International Filing Date: 22 April 1994 (2) (30) Priority Data: 08/058,606 6 May 1993 (06.05.93) 08/218,483 28 March 1994 (28.03.94) 08/225,371 19 April 1994 (19.04.94) (71) Applicant: MERRELL DOW PHARMACEUTICA [US/US]; 2110 East Galbraith Road, P.O. Box Cincinnati, OH 45215-6300 (US). (71)(72) Applicants and Inventors: BURKHOLDER, Tir [US/US]; 452 Vinnedge Avenue, Fairfield, OH 450 LE, Tieu-Binh [US/US]; 10 E. Lakeshore Dri Cincinnati, OH 45237 (US). KUDLACZ, Eliza [US/US]; 10856 Lake Thames, Cincinnati, OH 452 MAYNARD, George, D. [US/US]; 9214 Hunte Drive, Apartment C, Cincinnati, OH 45242 (US).	22.04.9 U. I.	US US US (C.)0, P. S). 18, M. S).	(81) Designated States: AT, AU, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, ES, FI, GB, HU, IP, KP, KR, KZ, LK, LU, LV, MG, MN, MW, NL, NO, NZ, PL, PT, RO, RU, SD, SE, SK, UA, UZ, VN, European patent (AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE), OAPl patent (BF, BJ, CF, CG, CI, CM, GA, GN, ML, MR, NE, SN, TD, TG). Published With international search report. With amended claims.
(74) Agent: COLLIER, Kenneth, J.; Marion Merrell D 2110 East Galbraith Road, P.O. Box 156300, C			·

(54) Title: SUBSTITUTED PYRROLIDIN-3-YL-ALKYL-PIPERIDINES USEFUL AS TACHYKININ ANTAGONISTS

(57) Abstract

OH 45215-6300 (US).

The present invention relates to substituted pyrrolidinyl-3-yl-alkyl-piperidines, their stereoisomers, and pharmaceutically acceptable salts thereof and processes for preparation of the same. The compounds of the present invention are useful in their pharmacological activities such as tachykinin antagonism, especially substance P and neurokinin A antagonism, and the like. Compounds having the property of tachykinin antagonism are indicated for conditions associated with neurogenic inflammation and other diseases described herein.